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(54) Title: PROCHELATORS FOR THE PREPARATION OF RADIOMETAL LABELED MOLECULES HAVING IMPROVED BIOLOGICAL PROPERTIES

(57) Abstract: Chelating compounds for labeling bioactive molecules with a radiometal, having general formula: (I) in which, both Y groups may be positioned either trans as shown or cis; A is an effector molecule, such as a peptide, in particular octreotide, CCK, substance P, gastrin, a protein, in particular an antibody or enzyme, sugars or radiosensitizing agents, like doxorubicin; R is a hydrogen, a C₁-C₃ alkyl or a alcohol; X is a spacer, in particular (CH₂)_n-X', in which n is 1-10 and X' is COOH, NH₂, SH, OH or O-halogen, in which halogen is in particular Br, I or Cl, or a molecule of formula (a) or of formula (b), Y is COO⁻, CH₂CONH₂, CH₂CH₂OH, optionally complexed with a radiometal.

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INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER
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B. FIELDS SEARCHED

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Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EMBASE, BIOSIS, EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	HEPPELER, A. ET AL: "Radiometal-labelled macrocyclic chelator-derivatized somatostatin analogu with superb tumour-targeting properties and potential for receptor-mediated internal radiotherapy" CHEM.--EUR. J. (1999), 5(7), 1974-1981 , XP001073647 figures 1,2 --- -/--	1-14

☒ Further documents are listed in the continuation of box C.☐ Patent family members are listed in annex.

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	EISENWIENER, KLAUS-PETER (1) ET AL: "Synthesis and peptide coupling of a new DOTA-based prochelator, forming neutral complexes with yttrium-90 and indium-111." JOURNAL OF LABELLED COMPOUNDS AND RADIOPHARMACEUTICALS, (MAY, 2001) VOL. 44, NO. SUPPLEMENT 1, PP. S694-S696. PRINT. MEETING INFO.: FOURTEENTH INTERNATIONAL SYMPOSIUM ON RADIOPHARMACEUTICAL CHEMISTRY INTERLAKEN, SWITZERLAND JUNE 10-15, 2001 , XP001073649 Schemes 1,2	1-14
P,X	----- EISENWIENER, K.-P. ET AL: "A convenient synthesis of novel bifunctional prochelators for coupling to bioactive peptides for radiometal labelling" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS (2000), 10(18), 2133-2135 , XP002197765 See schemes 1,2 -----	1-14